RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of aziridine-based cofactor mimics of nucleosides via aziridination as the key step)

RN 473907-70-9 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2R)-2-(methoxycarbonyl)-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-71-0 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2S)-2-(methoxycarbonyl)-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-72-1 CAPLUS

CN Adenosine, 5'-deoxy-5'-[2-[(phenylmethoxy)carbonyl]-1-aziridinyl]-2',3'-bis-O-(triethylsilyl)- (9CI) (CA INDEX NAME)

RN 473907-78-7 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2R)-2-[[(4S,5R)-3,4-dimethyl-2-oxo-5-phenyl-1-imidazolidinyl]carbonyl]-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-79-8 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2S)-2-[[(4S,5R)-3,4-dimethyl-2-oxo-5-phenyl-1-imidazolidinyl]carbonyl]-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-81-2 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2R)-2-[[(4R,5S)-3,4-dimethyl-2-oxo-5-phenyl-1-imidazolidinyl]carbonyl]-1-aziridinyl]-2',3'-bis-O-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-82-3 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2S)-2-[[(4R,5S)-3,4-dimethyl-2-oxo-5-phenyl-1-imidazolidinyl]carbonyl]-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-83-4 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2R)-2-(hydroxymethyl)-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

RN 473907-84-5 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2S)-2-(hydroxymethyl)-1-aziridinyl]-2',3'-bis-0-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 473907-73-2P 473907-74-3P 473907-75-4P 473907-76-5P 473907-85-6P 473907-86-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of aziridine-based cofactor mimics of nucleosides via
aziridination as the key step)

RN 473907-73-2 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2S)-2-(methoxycarbonyl)-1-aziridinyl]- (9CI) (CA INDEX NAME)

RN 473907-74-3 CAPLUS
CN Adenosine, 5'-deoxy-5'-[(2R)-2-(methoxycarbonyl)-1-aziridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-75-4 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2S)-2-[(phenylmethoxy)carbonyl]-1-aziridinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-76-5 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2R)-2-[(phenylmethoxy)carbonyl]-1-aziridinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473907-85-6 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(2R)-2-(hydroxymethyl)-1-aziridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

473907-86-7 CAPLUS RN

CN Adenosine, 5'-deoxy-5'-[(2S)-2-(hydroxymethyl)-1-aziridinyl]- (9CI) INDEX NAME)

Absolute stereochemistry.

52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:459574 CAPLUS

DOCUMENT NUMBER:

133:222946

TITLE:

Synthesis of 5'-N-(2-[18F]Fluoroethyl)-

carboxamidoadenosine: a promising tracer for investigation of adenosine receptor system by PET

technique

AUTHOR(S):

Lehel, Sz.; Horvath, G.; Boros, I.; Mikecz, P.;

Marian, T.; Szentmiklosi, A. J.; Tron, L.

CORPORATE SOURCE:

Positron Emission Tomograph Centre, University Medical

School of Debrecen, Debrecen, H-4026, Hung.

SOURCE:

Journal of Labelled Compounds & Radiopharmaceuticals

(2000), 43(8), 807-815 CODEN: JLCRD4; ISSN: 0362-4803

PUBLISHER:

John Wiley & Sons Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 133:222946

5'-N-(2-[18F]Fluoroethyl)-carboxamidoadenosine ([18F]FNECA), a promising 18F-labeled adenosine agonist has been prepared by two different synthetic routes. In the first, [18F] fluoride was reacted with 5'-N, N-ethyleneRN

2',3'-O-isopropylidenecarboxamido-adenosine and, after removing the protective group, [18F] FNECA was obtained in a low radiochem. yield (1±1%, mean±sd, n=7, decay corrected). In the second, 2-[18F] fluoroethylamine was synthesized according to the literature and reacted with 2',3'-O-isopropylideneadenosine-5'-uronic acid in the presence of a coupling agent. The following hydrolysis step provided the [18F] FNECA with a modest radiochem. yield (24±9%, n=17, based on [18F] fluoride-activity). After purification by preparative reverse phase HPLC 18.9-166.5 MBq (0.51-4.5 mCi) [18F] FNECA was obtained with a specific activity of 2.35±1.14 TBq/mmol (63.5±30.9 Ci/mmol, n=3). The total synthesis took 200 min and the decay corrected radiochem. yield based on [18F] F- activity was 17±9% (n=5) with more than 99.9% radiochem. purity. This second route provides sufficient [18F] FNECA for the subsequent biol. evaluation using PET-technique.

IT 291771-77-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of ([18F]fluoroethyl)carboxamidoadenosine, a promising tracer for investigation of adenosine receptor system by PET technique) 291771-77-2 CAPLUS

CN Adenosine, 5'-(1-aziridinyl)-5'-deoxy-2',3'-O-(1-methylethylidene)-5'-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2000:98580 CAPLUS

DOCUMENT NUMBER:

132:148496

TITLE:

Aziridine-containing cofactors for methyltransferases

and their use in labeling of nucleic acids and

proteins

INVENTOR(S):

Pignot, Marc; Weinhold, Elmar

PATENT ASSIGNEE(S):

Max-Planck-Gesellschaft Zur Forderung Der

Wissenschaften E.V., Germany

SOURCE:

PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

GI

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

DATE PATENT NO. KIND DATE APPLICATION NO. _____ _____ WO 1999-EP5405 19990728 WO 2000006587 A1 20000210 W: CA, JP, LT, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE CA 1999-2338721 19990728 20000210 CA 2338721 AA EP 1999-938363 19990728 EP 1102781 **A**1 20010530 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 2000-562384 19990728 20020716 JP 2002521488 T2 EP 1998-114201 A 19980729 PRIORITY APPLN. INFO.: WO 1999-EP5405 W 19990728 OTHER SOURCE(S): MARPAT 132:148496

R2 N-CH₂ OH OH

AB Aziridine derivs. [I; X=N, CH; Y=N, CR3; R1,R3=H, 3H, NH(CH2)nNHR4, NH(C2H50)nC2H5NHR4; R4=fluorophore, affinity tag, crosslinking agent, peptides, etc.; n=1-5000; R2=R1, CH2CH(COOH)(NH2)] are disclosed which can be used as cofactor for S-adenosyl-L-methionine-dependent methyltransferases. I and methyltransferases may be used to label nucleic acids and proteins. Thus, I (X,Y=N; R1,R2=H) was synthesized and used to label double-stranded oligonucleotide substrates of DNA methyltransferase TaqI and HhaI.

IT 219497-87-7P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(aziridine-containing cofactors for methyltransferases and their use in labeling of nucleic acids and proteins)

RN 219497-87-7 CAPLUS

CN Adenosine, 5'-(1-aziridinyl)-5'-deoxy- (9CI) (CA INDEX NAME)

Ι

IT 256953-68-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(aziridine-containing cofactors for methyltransferases and their use in labeling of nucleic acids and proteins)

RN 256953-68-1 CAPLUS

CN Adenosine, 5'-(1-aziridinyl)-5'-deoxy-8-[[4-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]butyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:745696 CAPLUS

DOCUMENT NUMBER: 130:106802

TITLE: Coupling of a nucleoside with DNA by a

methyltransferase

AUTHOR(S): Pignot, Marc; Siethoff, Christoph; Linscheid, Michael;

Weinhold, Elmar

CORPORATE SOURCE: Max-Planck-Institut Molekulare Physiologie, Abteilung

Physikalische Biochemie, Dortmund, D-44139, Germany

SOURCE: Angewandte Chemie, International Edition (1998),

37(20), 2888-2891

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE:

English

S-Adenosyl-L-methionine-dependent methyltransferase (Mtases) catalyze the transfer of the activated Me group from the cofactor S-adenosyl-L-methionine to sulfur, nitrogen, oxygen and carbon acceptors of small mols., phospholipids, RNA and DNA with specificity. The authors present the first example of a Mtase-catalyzed formation of a covalent bond between a group larger than a Me group and the substrate for a Mtase. N-adenosylaziridine was synthesized and tested as a substrate for Thermus aquaticus DNA Mtase.

IT 219497-87-7P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(coupling of a nucleoside with DNA by a methyltransferase using N-adenosylaziridine, a S-adenosyl-L-methionine analog)

RN 219497-87-7 CAPLUS

CN Adenosine, 5'-(1-aziridinyl)-5'-deoxy- (9CI) (CA INDEX NAME)

16

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



Creation date: 04-26-2004

Indexing Officer: JPERRY - JONATHAN PERRY

Team: OIPEBackFileIndexing

Dossier: 09744641

Legal Date: 03-27-2003

| No. | Doccode | Number of pages |
|-----|---------|-----------------|
| 1 | SRNT | 46 |
| 2 | NPL | 6 |

Total number of pages: 52

Remarks:

Order of re-scan issued on